

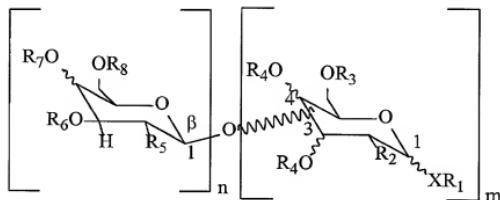
WHAT IS CLAIMED IS:

1. A substantially pure conopeptide selected from the group consisting of:
  - (a) contulakin-G comprising the amino acid sequence Xaa<sub>1</sub>-Ser-Glu-Glu-Gly-Gly-Ser-Asn-Ala-Thr-Lys-Lys-Xaa<sub>2</sub>-Tyr-Ile-Leu (SEQ ID NO:1), where Xaa<sub>1</sub> is pyro-Glu, Xaa<sub>2</sub> is proline or hydroxyproline and Thr<sub>10</sub> is modified to contain an O-glycan, wherein said glycan is not Gal(β1-3)GalNAc(α1-);
    - (b) a generic contulakin-G having the following general formula Xaa<sub>1</sub>-Xaa<sub>2</sub>-Xaa<sub>3</sub>-Xaa<sub>4</sub>-Gly-Gly-Xaa<sub>5</sub>-Xaa<sub>6</sub>-Xaa<sub>7</sub>-Xaa<sub>8</sub>-Xaa<sub>9</sub>-Xaa<sub>10</sub>-Ile-Leu (SEQ ID NO:2), where Xaa<sub>1</sub> is pyro-Glu, Glu, Gln or γ-carboxy-Glu; Xaa<sub>2</sub> is Ser, Thr or S-glycan modified Cys; Xaa<sub>3</sub> is Glu or γ-carboxy-Glu; Xaa<sub>4</sub> is Asn, N-glycan modified Asn or S-glycan modified Cys; Xaa<sub>5</sub> is Ala or Gly; Xaa<sub>6</sub> is Thr, Ser, O-glycan modified Thr, O-glycan modified Ser, S-glycan modified Cys, Tyr or any hydroxy containing unnatural amino acid; Xaa<sub>7</sub> is Lys, N-methyl-Lys, N,N-dimethyl-Lys, N,N,N-trimethyl-Lys, Arg, ornithine, homoarginine or any unnatural basic amino acid; Xaa<sub>8</sub> is Ala, Gly, Lys, N-methyl-Lys, N,N-dimethyl-Lys, N,N,N-trimethyl-Lys, Arg, ornithine, homoarginine, any unnatural basic amino acid or X-Lys where X is (CH<sub>2</sub>)<sub>n</sub>, phenyl, -(CH<sub>2</sub>)<sub>m</sub>-(CH=CH)-(CH<sub>2</sub>)<sub>m</sub>H or -(CH<sub>2</sub>)<sub>m</sub>-(C≡C)-(CH<sub>2</sub>)<sub>n</sub>H in which n is 1-4 and m is 0-2; Xaa<sub>9</sub> is Pro or hydroxy-Pro; and Xaa<sub>10</sub> is Tyr, mono-iodo-Tyr, di-iodo-Tyr, O-sulpho-Tyr, O-phospho-Tyr, nitro-Tyr, Trp, D-Trp, bromo-Trp, bromo-D-Trp, chloro-Trp, chloro-D-Trp, Phe, L-neo-Trp, or any unnatural aromatic amino acid, with the proviso that the generic contulakin-G is not a peptide of the formula Xaa<sub>1</sub>-Ser-Glu-Glu-Gly-Gly-Ser-Asn-Ala-Thr-Lys-Lys-Xaa<sub>2</sub>-Tyr-Ile-Leu (SEQ ID NO:1), wherein Xaa<sub>1</sub> is pyro-Glu, Xaa<sub>2</sub> is proline or hydroxyproline and Thr<sub>10</sub> is unmodified or modified to contain an O-glycan;
      - (c) a generic contulakin-G of (b) which is modified to contain an O-glycan, an S-glycan or an N-glycan;
      - (d) a contulakin-G analog which comprises an N-terminal truncation of from 1 to 9 amino acids of the generic contulakin-G of (b);
      - (e) a contulakin-G analog of (c), wherein an Ser-O-glycan, Thr-O-glycan or Cys-S-glycan is substituted for the amino acid residue at the truncated N-terminus;

(f) a contulakin-G analog of (c), wherein an Ser-O-glycan, Thr-O-glycan or Cys-S-glycan is substituted for a residue at positions 2-9 of the generic contulakin-G; and

(g) a contulakin-G analog which comprises an N-terminal truncation of 10 amino acids of the generic contulakin-G of (b) which is further modified to contain a Lys-N-glycan at residue 11 of the generic contulakin-G.

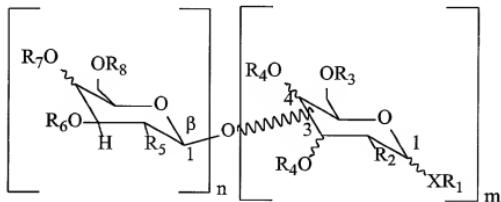
2. The substantially pure conopeptide of claim 1, wherein Xaa<sub>2</sub> of Contulakin-G of (a) is proline.
3. The substantially pure conopeptide of claim 1, wherein Xaa<sub>2</sub> of Contulakin-G of (a) is hydroxy-proline.
4. The substantially pure conopeptide of claim 1, wherein the glycan of Contulakin-G of (a) has the structure



wherein R<sub>1</sub> is an amino acid capable of being derivatized with a glycan either chemically or enzymatically; R<sub>2</sub> is OH, NH<sub>2</sub>, NH<sub>3</sub>SO<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, or O-glycan; R<sub>3</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl, sialic acid or monosaccharide; R<sub>4</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>5</sub> is OH, NH<sub>2</sub>, NH<sub>3</sub>SO<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, O-monosaccharide or, O-acetyl; R<sub>6</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>7</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>8</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; n is 0-4 and m is 1-4.

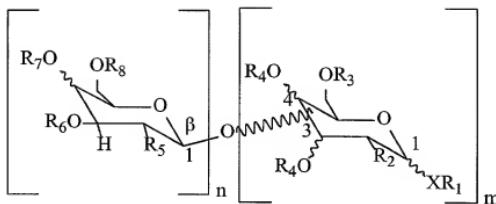
5. The substantially pure conopeptide of claim 4, wherein Xaa<sub>2</sub> of Contulakin-G of (a) is proline.

6. The substantially pure conopeptide of claim 4, wherein Xaa<sub>2</sub> of Contulakin-G of (a) is hydroxy-proline.
7. The substantially pure conopeptide of claim 1, wherein the glycan of the generic Contulakin-G of (b)-(c) and the Contulakin-G analog of (d)-(g) is Gal(β1→3)GalNAc(α1→).
8. The substantially pure conopeptide of claim 1, wherein the glycan of the generic Contulakin-G of (b)-(c) and the Contulakin-G analog of (d)-(g) has the structure



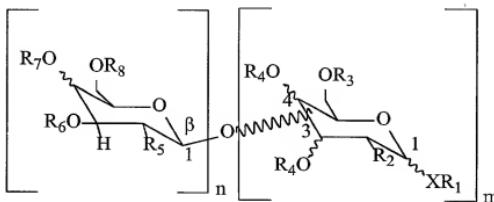
wherein R<sub>1</sub> is an amino acid capable of being derivatized with a glycan either chemically or enzymatically; R<sub>2</sub> is OH, NH<sub>2</sub>, NH<sub>3</sub>O<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, or O-glycan; R<sub>3</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl, sialic acid or monosaccharide; R<sub>4</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>5</sub> is OH, NH<sub>2</sub>, NH<sub>3</sub>O<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, O-monosaccharide or, O-acetyl; R<sub>6</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>7</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>8</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; n is 0-4 and m is 1-4.

9. The substantially pure conopeptide of claim 1, wherein the conopeptide is the generic Contulakin-G of (b).
10. The substantially pure conopeptide of claim 9, wherein the glycan is Gal(β1→3)GalNAc(α1→).
11. The substantially pure conopeptide of claim 9, wherein the glycan has the structure



wherein R<sub>1</sub> is an amino acid capable of being derivatized with a glycan either chemically or enzymatically; R<sub>2</sub> is OH, NH<sub>2</sub>, NH<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, or O-glycan; R<sub>3</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl, sialic acid or monosaccharide; R<sub>4</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>5</sub> is OH, NH<sub>2</sub>, NH<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, O-monosaccharide or, O-acetyl; R<sub>6</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>7</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>8</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; n is 0-4 and m is 1-4.

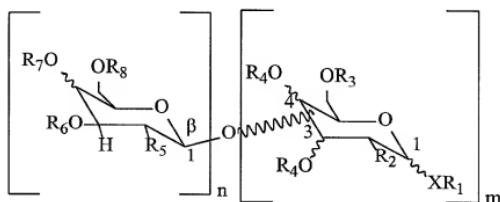
12. The substantially pure conopeptide of claim 1, wherein the conopeptide is the generic Contulakin-G of (c).
13. The substantially pure conopeptide of claim 12, wherein the glycan is Gal(β1-3)GalNAc(α1-).
14. The substantially pure conopeptide of claim 12, wherein the glycan has the structure



wherein R<sub>1</sub> is an amino acid capable of being derivatized with a glycan either chemically or enzymatically; R<sub>2</sub> is OH, NH<sub>2</sub>, NH<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate,

or O-glycan; R<sub>3</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl, sialic acid or monosaccharide; R<sub>4</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>5</sub> is OH, NH<sub>2</sub>, NHSO<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, O-monosaccharide or, O-acetyl; R<sub>6</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>7</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>8</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; n is 0-4 and m is 1-4.

15. The substantially pure conopeptide of claim 1, wherein the conopeptide is the Contulakin-G analog of (d).
16. The substantially pure conopeptide of claim 15, wherein the glycan is Gal(β1-3)GalNAc(α1-).
17. The substantially pure conopeptide of claim 15, wherein the glycan has the structure

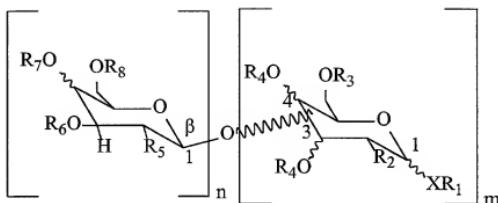


wherein R<sub>1</sub> is an amino acid capable of being derivatized with a glycan either chemically or enzymatically; R<sub>2</sub> is OH, NH<sub>2</sub>, NHSO<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, or O-glycan; R<sub>3</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl, sialic acid or monosaccharide; R<sub>4</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>5</sub> is OH, NH<sub>2</sub>, NHSO<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, O-monosaccharide or, O-acetyl; R<sub>6</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>7</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>8</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; n is 0-4 and m is 1-4.

18. The substantially pure conopeptide of claim 1, wherein the conopeptide is the Contulakin-G analog of (e).

19. The substantially pure conopeptide of claim 18, wherein the glycan is Gal( $\beta$ 1-3)GalNAc( $\alpha$ 1-).

20. The substantially pure conopeptide of claim 18, wherein the glycan has the structure



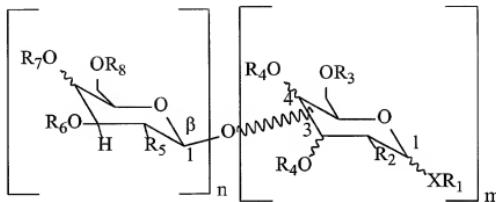
wherein R<sub>1</sub> is an amino acid capable of being derivatized with a glycan either chemically or enzymatically; R<sub>2</sub> is OH, NH<sub>2</sub>, NH<sub>3</sub>O<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, or O-glycan; R<sub>3</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl, sialic acid or monosaccharide; R<sub>4</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>5</sub> is OH, NH<sub>2</sub>, NH<sub>3</sub>O<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, O-monosaccharide or, O-acetyl; R<sub>6</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>7</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>8</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; n is 0-4 and m is 1-4.

21. The substantially pure conopeptide of claim 1, wherein the conopeptide is the Contulakin-G analog of (f).

22. The substantially pure conopeptide of claim 21, wherein the glycan is Gal( $\beta$ 1-3)GalNAc( $\alpha$ 1-).

23. The substantially pure conopeptide of claim 21, wherein the glycan has the structure

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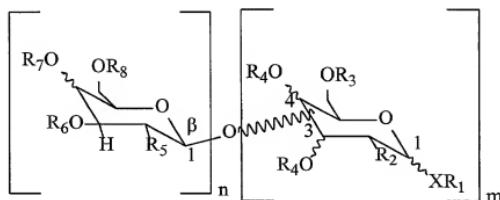


wherein  $R_1$  is an amino acid capable of being derivatized with a glycan either chemically or enzymatically;  $R_2$  is OH, NH<sub>2</sub>, NH<sub>SO<sub>3</sub></sub>Na, NHAc, O-sulphate, O-phosphate, or O-glycan;  $R_3$  is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl, sialic acid or monosaccharide;  $R_4$  is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide;  $R_5$  is OH, NH<sub>2</sub>, NH<sub>SO<sub>3</sub></sub>Na, NHAc, O-sulphate, O-phosphate, O-monosaccharide or, O-acetyl;  $R_6$  is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide;  $R_7$  is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide;  $R_8$  is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide;  $n$  is 0-4 and  $m$  is 1-4.

24. The substantially pure conopeptide of claim 1, wherein the conopeptide is the Contulakin-G analog of (g).

25. The substantially pure conopeptide of claim 24, wherein the glycan is Gal(β1→3)GalNAc(α1→).

26. The substantially pure conopeptide of claim 24, wherein the glycan has the structure



wherein R<sub>1</sub> is an amino acid capable of being derivatized with a glycan either chemically or enzymatically; R<sub>2</sub> is OH, NH<sub>2</sub>, NHSO<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, or O-glycan; R<sub>3</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl, sialic acid or monosaccharide; R<sub>4</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>5</sub> is OH, NH<sub>2</sub>, NHSO<sub>3</sub>Na, NHAc, O-sulphate, O-phosphate, O-monosaccharide or, O-acetyl; R<sub>6</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>7</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; R<sub>8</sub> is H, SO<sub>3</sub>, PO<sub>3</sub>, acetyl or monosaccharide; n is 0-4 and m is 1-4.

27. The substantially pure conopeptide of claim 1 which is chemically synthesized.
28. The substantially pure conopeptide of claim 4 which is chemically synthesized.
29. The substantially pure conopeptide of claim 7 which is chemically synthesized.
30. The substantially pure conopeptide of claim 8 which is chemically synthesized.
31. The substantially pure conopeptide of claim 9 which is chemically synthesized.
32. The substantially pure conopeptide of claim 10 which is chemically synthesized.
33. The substantially pure conopeptide of claim 11 which is chemically synthesized.
34. The substantially pure conopeptide of claim 12 which is chemically synthesized.
35. The substantially pure conopeptide of claim 13 which is chemically synthesized.
36. The substantially pure conopeptide of claim 14 which is chemically synthesized.
37. The substantially pure conopeptide of claim 15 which is chemically synthesized.
38. The substantially pure conopeptide of claim 16 which is chemically synthesized.

39. The substantially pure conopeptide of claim 17 which is chemically synthesized.
40. The substantially pure conopeptide of claim 18 which is chemically synthesized.
41. The substantially pure conopeptide of claim 19 which is chemically synthesized.
42. The substantially pure conopeptide of claim 20 which is chemically synthesized.
43. The substantially pure conopeptide of claim 21 which is chemically synthesized.
44. The substantially pure conopeptide of claim 22 which is chemically synthesized.
45. The substantially pure conopeptide of claim 23 which is chemically synthesized.
46. The substantially pure conopeptide of claim 24 which is chemically synthesized.
47. The substantially pure conopeptide of claim 25 which is chemically synthesized.
48. The substantially pure conopeptide of claim 26 which is chemically synthesized.

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